

1 Y/F	2 X	3 L/M	4 L/M/A/I	5 X	6 G/A	7 X	8 Hydrophobic	9 P	10 F/Y
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Figure 1

1 Y	2 E	3 M	4 L/M/A	5 X	6 G	7 X	8 P	9 P	10 F
11 X	12 A/G	13 D/E/Q	14 D/E/Q/N	15 P/E	16 D/E/I	17 D/E/Q	18 I/L	19 Y/F	20 Q/E

Figure 2

SERINE\THREONINE KINASES

	1	2	3	4	5	6	7	8	9	10	11	12	13	14	15	16	17	18	19	20	
RAF	Y	E	L	M	T	G	E	L	P	Y	S	H	I	N	N	R	D	Q	I	I	
	F	Q	I	V	A	A	Q	I	F	A	N	L	D*	D*	X	D	N	E	L	L	
	W	E*	M	L	S		D	M	W	T	D	M	D	D		D*	E*	M	M	M	
	N	V	I				E*	V			Q	V	Q	Q		Q	N	V	V	V	
	D						D*				E	E	E	E		E	D				
	D*									E*		E*	E*		E*	D*					
CAPK	Y	E	M	A	A	G	Y	P	P	F	F	A	D	Q	P	I	Q	I	Y	E	
	F	Q	V	G	V	A	F		P	Y	Y	G	D	E	L	E	L	F	Q	Q	
	W	E*	L	M	M	W				W	W		D*	E*	M	M	E*	M	W	E*	
	D	I	I	L	L							Q	N	Q	N	V	N	M	N	N	D
	D*			I	I						E	D	D*	E*	D	D*	D	D	D	D*	D*
	N										E*	D*									
PKC	Y	E	M	L	A	G	Q	P	P	F	D	G	E	D	E	D	E	L	F	Q	
	F	Q	V	M	I	A	H	A	P	Y	E	A	D	N	Q	E*	D	I	Y	E	
	W	E*	L	I	C	E	S			W	H		Q	Q	Q	E*	Q	M	W	H*	
	D	I	V	L	L	E*					Q	N	D*	N	N	D*	N	M	V	E*	
	D*			M	M						E*	E	E*	E	D	D*	D	D*	D	E*	
	N			V	V						D*	D*	D*	D*	E*	D*	E*	E*	E*		
βARK1.2	F	K	L	I	R	G	H	S	P	F	R	Q	H	K	T	K	D	K	H	E	
	Y	O	I	L	X	A		T		Y	X	E	O	O	S	O	N	O	Q	Q	
	W	M	M	M	M					W	D	N	D	D	D	D	D*	N	D	D*	
	V	V	V	V	C	I					E*	E	E	E	E	E	E*	E	V	E*	
											D*										
CaMK	Y	I	L	L	V	G	Y	P	P	F	W	D	E	D	Q	H	R	L	Y	Q	
	F	L	I	I	L	A	F		P	Y	Y	N	Q	N	E	R	K	I	F	E	
	W	M	M	M	M	W				W	F	D*	E*	D*	E*	K	X	M	W	E*	
	V	V	V	V	C	I					Q	N	Q	Q	D*	O	O	D	D	D*	
											E	D	E	N					N		
											E*	D*	E*	D							

Figure 3A

	1	2	3	4	5	6	7	8	9	10	11	12	13	14	15	16	17	18	19	20
POLO	Y	T	L	L	V	G	K	P	P	F	E	T	S	C	L	K	E	T	Y	L
	F	S	M	I	L	A	R		Y	D	S	T	T	T	V	O	D	S	F	I
	W	I	M	I	O			W	Q		S	T	S	I	M	N	N	W	V	M
		V	V	M	X				N					E*	Q		E*	W	V	
									E*					D*			D*			
Akt/ PKB	Y	E	M	M	C	G	R	L	P	F	Y	N	Q	D	H	E	R	L	F	E
	F	E*	L	L	S	A	X	M	W	W	Q	N		D*	K	E*	X	M	Y	E*
	W	D	I	I	T			I	Y	F			E*	E	O	D	K	I	W	D
		D*	V	V				V					E*	D*	O		V		D*	
GRK1	Y	E	M	I	A	A	R	G	P	F	R	A	R	G	E	K	V	E	N	K
	W	E*	I	M	G	G	X	A	W	X	G	X	A	E*	O	M	E*	Q	O	O
	F	D	L	L					Y						D	H	H	I	D	H
		D*	V	V											D*		L		D*	
GRK4	Y	E	M	I	Q	G	H	S	P	F	K	K	Y	K	E	K	V	K	W	E
	F	E*	I	L	N	A	K	T	W	W	O	O	F	O	E*	O	M	O	F	E*
	W	D	L	M	O				Y	H	H	W	H	D	H	H	I	H	Y	D
		D*	V	V										D*		L			D*	
GRK5	Y	E	M	I	E	G	Q	S	P	F	R	G	R	K	E	K	V	K	R	E
	F	E*	I	L	E*	A	N	T	W	X	A	X	K	O	E*	O	M	O	X	E*
	W	D	L	M	D				Y		H		H	D	H	D	H	I	H	D
		D*	V	V	D*									D*		L			D*	
GRK6	Y	E	M	I	A	G	Q	S	P	F	Q	Q	R	K	K	K	I	K	R	E
	F	E*	I	L	G	A	N	T	W	W	N	N	X	O	O	O	M	O	X	E*
	W	D	L	M					Y		H		H	H	H	H	H	V	H	D
		D*	V	V													L		D*	
GSK3	A	E	L	L	L	G	Q	P	I	F	P	G	D	S	G	V	D	Q	L	V
	G	E*	I	I	I	A	N		L	Y		A	D*	T	A	L	D*	N	I	L
	D	M	M	M					M	W		E			I	E		M	I	
		D*	V	V	V				V			E*			M	E*		V	M	

D* = a substituted or unsubstituted aliphatic, benzylic or aromatic ester of aspartic acid

E* = a substituted or unsubstituted aliphatic, benzylic or aromatic ester of glutamic acid

X = N-nitroarginine, β -cycloarginine, γ -hydroxyarginine, amidinocitroline or 2-amino-4-guanidinobutanoic acid

O = Ornithine

Figure 3B

RAF

HJ38	Ac-	V	M	T	G	Q	L	P	F	-NH ₂
J41	Ac-	V	M	T	G	E!	L	P	F	-NH ₂

POLO

J42	Ac-	M	L	L	G	R	P	P	F	E!	-NH ₂
J43	Ac-	M	L	L	G	K	P	P	F	NH ₂	
J43.1	Ac-	M	L	L	G	K	P	P	F	E!	-NH ₂
J45			Ac-	L	G	R	P	P	F	E!	T S
J46	Ac-	M	L	L	G	R	P	P	F	E!	T S

Akt/PKB

J47				Ac-	G	R	L	P	F	F	N	-NH ₂
J48	Ac-	E!	M	Ac-	G	R	L	P	F	F	N	-NH ₂

GSK3

J29	Ac-	L	L	L	G	Q	P	I	F	P	G	-NH ₂
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E! - Benzyl Ester of Glutamic Acid

Figure 4

Collagen production in fetal lung fibroblasts
in the presence of increasing concentrations of
K048H101

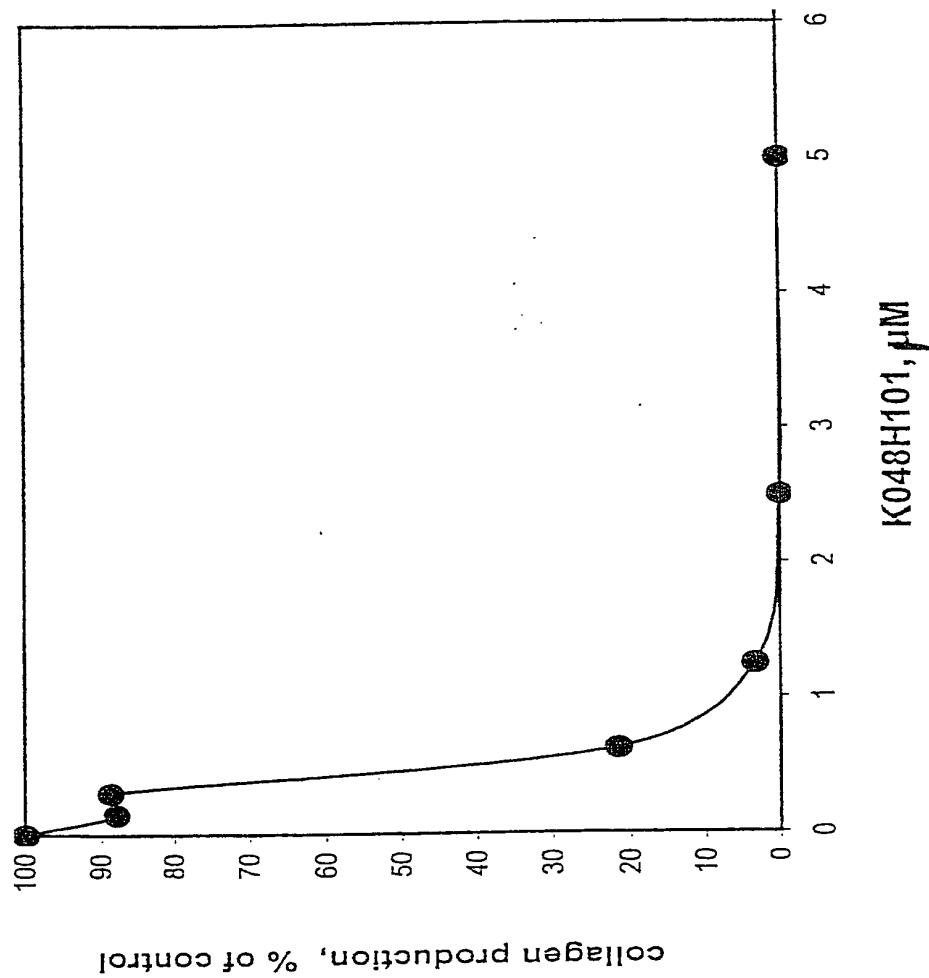


Figure 5

Activin/TGFbR
ACTRII

Peptide N_terminal		C_terminal
K095H101	Myristyl - G	G P V D E Y M L P F

ALK1

Peptide N_terminal		C_terminal
K048H101	Myristyl - G	G I V E D Y R P P F
K048H901	Stearyl - G	G I V E D Y R P P F

ALK3

Peptide N_terminal		C_terminal
K098H101	Myristyl - G	G I V E E Y Q L P Y
K098H901	Stearyl - G	G I V E E Y Q L P Y

ALK4

Peptide N_terminal		C_terminal
K099H101	Myristyl - G	G Q V H E E Y Q L P Y

TGFbRII

Peptide N_terminal		C_terminal
K093H101	Myristyl - G	G E V K D Y E P P F

Akt/PKB
Akt1/Raca

Peptide N_terminal		C_terminal
K014H101	Myristyl - G	M M S G R L P
K014H010	(Free NH2)	M C G R L P
K014H111	Myristyl - G	M M C G R L P

CAPK
cAPKa

Peptide N_terminal		C_terminal
K004H001	Acetyl	M A A G Y P
K004H002	Acetyl	M A A G Y P P F F

CDK

Figure 6A

CDK2

Peptide N_terminal		C_terminal	
K049H101	Myristyl - G	M V T R R A L F	NH2

CDK4

Peptide N_terminal		C_terminal	
K050H101	Myristyl - G	M F R R K P L F	NH2

CHK

Chk1

Peptide N_terminal		C_terminal	
K088H001	Acetyl	M L A G E! L P W D!	NH2
K088H101	Myristyl -G	M L A G E L P	NH2
K088H103	Myristyl - G	M L A G E L	NH2
K088H104	Myristyl - G	M L A G E L P W D	NH2

DAPK

DAPK

Peptide N_terminal		C_terminal	
K092H001	Acetyl	I L L S G A S P F L G	NH2

GRK

bARK1

Peptide N_terminal		C_terminal	
K024H101	Myristyl - G	L L R G H S	NH2

GSK3

GSK3b

Peptide N_terminal		C_terminal	
K018H101	Myristyl - G	L L L G Q P I	NH2

IAK

Iak1

Peptide N_terminal		C_terminal	
K087H001	Acetyl	F L V G M P P F	NH2
K087H101	Myristyl -G	F L V G M P P	NH2
K087H102	Myristyl -G	F L V G M P	NH2
Figure 6B			

K087H103 Myristyl -G F L V G M P P F E NH2

IKK
IKK-1

Peptide N_terminal		C_terminal	
K090H101	Myristyl - G	I A G Y R P F L	NH2

IKK-2

Peptide N_terminal		C_terminal	
K091H001	Acetyl	I T G F R P F L	NH2
K091H101	Myristyl -G	I T G F R P F L	NH2

ILK
ILK

Peptide N_terminal		C_terminal	
K107H001	Acetyl	L V T R E! V	NH2
K107H101	Myristyl -G	L V T R E V P F	NH2
K107H102	Myristyl - G	L V T R E V	NH2
K107H901	Stearyl - G	L V T R E V P F	NH2

MARK/p78
MARK1

Peptide N_terminal		C_terminal	
K045H101	Myristyl -G	L V S G S	NH2
K045H102	Myristyl -G	L V S G S L P	NH2

PKC
PKC_b

Peptide N_terminal		C_terminal	
K008H001	Acetyl	M L A G Q A P F	NH2
K008H101	Myristyl -G	M L A G Q A P	NH2
K008H102	Myristyl -G	M L A G Q A	NH2
K008H103	Myristyl -G	M L A G Q A P F E	NH2

Figure 6C

POLO
Plk

Peptide N_terminal		C_terminal	
K035H001	Acetyl	L L V G K P P F	NH2
K035H101	Myristyl -G	L L V G K P P	NH2

SNK

Peptide N_terminal		C_terminal	
K038H101	Myristyl -G	M L L G R P P F E!	NH2
K038H102	Myristyl -G	M L L G R P P	NH2

RAF
Braf

Peptide N_terminal		C_terminal	
K003H103	Myristyl -G	L M T G Q L	NH2
K003H104	Myristyl -G	L M T G Q L P Y S	NH2

c-Raf

Peptide N_terminal		C_terminal	
K001H102	Myristyl -G	L M T G E L	NH2
K001H103	Myristyl -G	L M T G E L P Y S	NH2

Figure 6D